## What is claimed:

1. A compound of formula (I):

$$\begin{array}{c} & O \\ \parallel \\ R_1\text{-}(CH_2CH_2O)_n\text{-}CH_2CH_2\text{-}O\text{-}(CH_2)_m\text{-}C\text{-}NH\text{-}(CH_2)_p\text{-}CH_2\text{-}NHT20} \end{array} \tag{I)}$$

wherein

R<sub>1</sub> is a capping group,

m is from 1 to 17,

n is from 10 to 1,000,

p is from 1 to 3, and

NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

2. A compound according to claim 1, wherein R<sub>1</sub> is selected from the group consisting of halogen, epoxide, maleimide, orthopyridyl disulfide, tosylate, isocyanate, hydrazine hydrate, cyanuric halide, N-succinimidyloxy, sulfo-N-succinimidyloxy, 1-benzotriazolyloxy, 1-imidazolyloxy, p-nitrophenyloxy, and

$$\begin{matrix} \mathsf{O} \\ \parallel \\ \mathsf{-CH_2CH_2-O-(CH_2)_m-C-NH-(CH_2)_p-CHO}. \end{matrix}$$

3. A compound according to claim 1, wherein  $R_1$  is

 $\label{eq:charge_energy} \begin{array}{c} O \\ \parallel \\ -\text{CH}_2\text{CH}_2\text{-O-}(\text{CH}_2)_\text{m}\text{-C-NH-}(\text{CH}_2)_\text{p}\text{-CHO}. \end{array}$ 

- 4. A compound according to claim 1, wherein  $R_1$  is selected from the group consisting of hydrogen, hydroxy, lower alkyl, lower alkoxy, lower cycloalkyl, lower alkenyl, aryl, and heteroaryl.
- $5_{\odot}$  A compound according to claim 1, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, and benzyloxy.
  - 6. A compound according to claim 5, wherein  $R_1$  is methoxy.
  - 7. A compound according to claim 1, wherein p is 3.
- 8. A compound according to claim 7, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, or benzyloxy.
  - 9. A compound according to claim 7, wherein m is from 1 to 14.
  - 10. A compound according to claim 9, wherein m is from 1 to 7.
  - 11. A compound according to claim 10, wherein m is from 1 to 4.

- 12. A compound according to claim 7, wherein n is from 20 to 1,000.
- 13. A compound according to claim 12, wherein n is from 50 to 1,000.
- 14. A compound according to claim 13, wherein n is from 75 to 1,000.
- 15. A compound according to claim 1, wherein p is 3,  $R_1$  is methoxy, m is 1, and n is from 100 to 750.
  - 16. A compound according to claim 1, wherein p is 2.
- 17. A compound according to claim 16, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, or benzyloxy.
  - 18. A compound according to claim 16, wherein m is from 1 to 14.
  - 19. A compound according to claim 18, wherein m is from 1 to 7.
  - 20. A compound according to claim 19, wherein m is from 1 to 4.
  - 21. A compound according to claim 16, wherein n is from 20 to 1,000.

- 22. A compound according to claim 21, wherein n is from 50 to 1,000.
- 23. A compound according to claim 22, wherein n is from 75 to 1,000.
- 24. A compound according to claim 1, wherein p is 2,  $R_1$  is methoxy, m is 1, and n is from 100 to 750.
  - 25. A compound according to claim 1, wherein p is 1.
- 26. A compound according to claim 25, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, or benzyloxy.
  - 27. A compound according to claim 25, wherein m is from 1 to 14.
  - 28. A compound according to claim 27, wherein m is from 1 to 7.
  - 29. A compound according to claim 28, wherein m is from 1 to 4.
  - 30. A compound according to claim 25, wherein n is from 20 to 1,000.
  - 31. A compound according to claim 30, wherein n is from 50 to 1,000.
  - 32. A compound according to claim 31, wherein n is from 75 to 1,000.

- 33. A compound according to claim 1, wherein p is 1,  $R_1$  is methoxy, m is 1, and n is from 100 to 750.
  - 34. A compound of formula:

$$CH_3-O-(CH_2-CH_2-O)_n-CH_2-CH_2-O-CH_2-CH_2-CH_2-NHT20$$
 (III)

wherein n is from 10 to 1,000 and NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

- 35. A compound according to claim 34, wherein n is approximately 225.
- 36. A pharmaceutical composition comprising, in admixture with a pharmaceutically acceptable excipient, a compound of formula:

$$\begin{array}{c} O \\ \parallel \\ R_{1}\text{-}(CH_{2}CH_{2}O)_{n}\text{-}CH_{2}CH_{2}\text{-}O\text{-}(CH_{2})_{m}\text{-}C\text{-}NH\text{-}(CH_{2})_{p}\text{-}CH_{2}\text{-}NHT20} \end{array} \tag{I)}$$

wherein

R<sub>1</sub> is a capping group,

m is from 1 to 17,

n is from 10 to 1,000,

p is from 1 to 3, and

NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

37. A pharmaceutical composition according to claim 36, wherein  $R_1$  is selected from the group consisting of halogen, epoxide, maleimide, orthopyridyl disulfide, tosylate, isocyanate, hydrazine hydrate, cyanuric halide, N-succinimidyloxy, sulfo-N-succinimidyloxy, 1-benzotriazolyloxy, 1-imidazolyloxy, p-nitrophenyloxy, and

$$\begin{array}{c} O \\ \parallel \\ - CH_2CH_2-O-(CH_2)_m-C-NH-(CH_2)_p-CHO. \end{array}$$

38. A pharmaceutical composition according to claim 36, wherein R₁ is

$$\begin{array}{c} \mathsf{O} \\ \parallel \\ \mathsf{-CH_2CH_2-O-(CH_2)_m-C-NH-(CH_2)_p-CHO}. \end{array}$$

- 39. A pharmaceutical composition according to claim 36, wherein  $R_1$  is selected from the group consisting of hydrogen, hydroxy, lower alkyl, lower alkoxy, lower cycloalkyl, lower alkenyl, aryl, and heteroaryl.
- 40. A pharmaceutical composition according to claim 36, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, and benzyloxy.
- 41. A pharmaceutical composition according to claim 36, wherein  $R_1$  is methoxy.

- 42. A pharmaceutical composition according to claim 36, wherein p is 3.
- 43. A pharmaceutical composition according to claim 42, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, or benzyloxy.
- 44. A pharmaceutical composition according to claim 43, wherein m is from 1 to 14.
- 45. A pharmaceutical composition according to claim 44, wherein m is from 1 to 7.
- 46. A pharmaceutical composition according to claim 45, wherein m is from 1 to 4.
- 47. A pharmaceutical composition according to claim 42, wherein n is from 20 to 1,000.
- 48. A pharmaceutical composition according to claim 47, wherein n is from 50 to 1,000.
- 49. A pharmaceutical composition according to claim 48, wherein n is from 75 to 1,000.

- 50. A pharmaceutical composition according to claim 36, wherein p is 3, R<sub>1</sub> is methoxy, m is 1, and n is from 100 to 750.
  - 51. A pharmaceutical composition according to claim 36, wherein p is 2.
- 52. A pharmaceutical composition according to claim 51, wherein  $R_1$  is selected from the group consisting of methoxy, hydroxy, or benzyloxy.
- 53. A pharmaceutical composition according to claim 51, wherein m is from 1 to 14.
- 54. A pharmaceutical composition according to claim 53, wherein m is from 1 to 7.
- 55. A pharmaceutical composition according to claim 54, wherein m is from 1 to 4.
- 56. A pharmaceutical composition according to claim 51, wherein n is from 20 to 1,000.
- 57. A pharmaceutical composition according to claim 56, wherein n is from 50 to 1,000.

- 58. A pharmaceutical composition according to claim 57, wherein n is from 75 to 1,000.
- 59. A pharmaceutical composition according to claim 36, wherein p is 2,  $R_1$  is methoxy, m is 1, and n is from 100 to 750.
  - 60. A pharmaceutical composition according to claim 36, wherein p is 1.
- 61. A pharmaceutical composition according to claim 60, wherein R<sub>1</sub> is selected from the group consisting of methoxy, hydroxy, or benzyloxy.
- 62. A pharmaceutical composition according to claim 60, wherein m is from 1 to 14.
- 63. A pharmaceutical composition according to claim 62, wherein m is from 1 to 7.
- 64. A pharmaceutical composition according to claim 63, wherein m is from 1 to 4.
- 65. A pharmaceutical composition according to claim 60, wherein n is from 20 to 1,000.

- 66. A pharmaceutical composition according to claim 65, wherein n is from 50 to 1,000.
- 67. A pharmaceutical composition according to claim 66, wherein n is from 75 to 1,000.
- 68. A pharmaceutical composition according to claim 36, wherein p is 1, R<sub>1</sub> is methoxy, m is 1, and n is from 100 to 750.
- 69. A pharmaceutical composition according to claim 36 in the form of a lypholized powder.
- 70. A pharmaceutical composition according to claim 36 in the form of an injectable solution or suspension.
- 71. A pharmaceutical composition according to claim 50 in the form of a lypholized powder.
- 72. A pharmaceutical composition according to claim 50 in the form of an injectable solution or suspension.
- 73. A pharmaceutical composition according to claim 36 in unit dosage form.

- 74. A pharmaceutical composition according to claim 73, wherein the unit dosage form is an injectable solution or suspension.
- 75. A pharmaceutical composition according to claim 73, wherein the unit dosage form is a transdermal delivery device.
- 76. A pharmaceutical composition according to claim 50 in unit dosage form.
- 77. A pharmaceutical composition according to claim 76, wherein the unit dosage form is an injectable solution or suspension.
- 78. A pharmaceutical composition according to claim 76, wherein the unit dosage form is a transdermal delivery device.
- 79. A pharmaceutical composition comprising, in admixture with a pharmaceutically acceptable excipient, a compound of formula:

$$CH_3-O-(CH_2-CH_2-O)_n-CH_2-CH_2-O-CH_2-CH_2-CH_2-NHT20$$
 (III)

wherein n is from 10 to 1,000 and NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

- 80. A pharmaceutical composition according to claim 79, wherein n is approximately 225.
- 81. A method of inhibiting HIV infection comprising administering a pharmaceutical composition comprising, in admixture with a pharmaceutically acceptable excipient, a compound of formula:

$$R_{1}$$
-( $CH_{2}CH_{2}O)_{n}$ - $CH_{2}CH_{2}$ - $O$ -( $CH_{2})_{m}$ - $C$ - $NH$ -( $CH_{2})_{p}$ - $CH_{2}$ - $NHT20$  (I)

## wherein

R<sub>1</sub> is a capping group,

m is from 1 to 17,

n is from 10 to 1,000,

p is from 1 to 3, and

NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

82. A method according to claim 81, wherein the pharmaceutical composition is administered in an amount of from about 50 mg to about 200 mg per day.

- 83. A method according to claim 81, wherein the pharmaceutical composition is administered in an amount of from about 300 mg to about 1500 mg per week in a single dose.
- 84. A method according to claim 83, wherein the pharmaceutical composition is administered in an amount of from about 400 mg to about 1000 mg per week in a single dose.
- 85. A method according to claim 84, wherein the pharmaceutical composition is administered in an amount of from about 500 mg to about 800 mg per week in a single dose.
- 86. A method of inhibiting HIV infection comprising administering a pharmaceutical composition comprising, in admixture with a pharmaceutically acceptable excipient, a compound of formula:

$$CH_3-O-(CH_2-CH_2-O)_n-CH_2-CH_2-CH_2-CH_2-CH_2-NHT20$$
 (III)

wherein n is from 10 to 1,000 and NHT20 is a T20 polypeptide covalently bonded through its terminal α-amino group.

87. A method according to claim 86, wherein n is approximately 130.

88. A compound of formula:

O 
$$\parallel$$
  $R_1$ -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>-CH<sub>2</sub>CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>m</sub>-C-NH-(CH<sub>2</sub>)<sub>p</sub>-CH<sub>2</sub>-NHT20 (I)

wherein

 $R_1$  is methoxy,

m is 1,

n is from 100 to 750,

p is 3, and

NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

89. A pharmaceutical composition comprising, in admixture with a pharmaceutically acceptable excipient, a compound of formula:

wherein

R<sub>1</sub> is methoxy,

m is 1,

n is from 100 to 750,

p is 3, and

NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

90. A method of inhibiting HIV infection comprising administering a pharmaceutical composition comprising, in admixture with a pharmaceutically acceptable excipient, a compound of formula:

$$\begin{array}{c} O \\ \parallel \\ R_1\text{-}(CH_2CH_2O)_n\text{-}CH_2CH_2\text{-}O\text{-}(CH_2)_m\text{-}C\text{-}NH\text{-}(CH_2)_p\text{-}CH_2\text{-}NHT20} \end{array} \textbf{ (I)}$$

wherein

R₁ is methoxy,

m is 1,

n is from 100 to 750,

p is 3, and

NHT20 is a T20 polypeptide covalently bonded through its terminal  $\alpha$ -amino group.

91. A method for attaching a polyethylene glycol molecule to a T20 polypeptide comprising reacting a T20 polypeptide with a polyethylene glycol aldehyde of formula:

O 
$$\parallel$$
  $R_1$ -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>-CH<sub>2</sub>CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>m</sub>-C-NH-(CH<sub>2</sub>)<sub>p</sub>-CHO

wherein

R₁ is a capping group,

m is from 1 to 17,

n is from 10 to 1,000, and

p is from 1 to 3;

to produce a compound of formula:

 $R_1$ -( $CH_2CH_2O$ )<sub>n</sub>- $CH_2CH_2$ -O-( $CH_2$ )<sub>m</sub>-CO-NH-( $CH_2$ )<sub>p</sub>- $CH_2$ -NHT20 (I) wherein the polyethylene glycol aldehyde molecule is bonded to the N-terminal amino group of the T20 polypeptide.

- 92. A method according to claim 91 wherein the T20 polypeptide is reacted with the polyethylene glycol molecule at a pH sufficiently acidic to selectively activate the  $\alpha$ -amino group at the amino terminus of the polypeptide.
- 93. A method according to claim 91 wherein the pH is from about 5.5 to about 7.4.
  - 94. A method according to claim 93 wherein the pH is about 6.5.
- 95. A method according to claim 91 further comprising isolating the pegylated T20 polypeptide.